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Title: **CN1474687A: Mdeicine based on anti-hyperglycaemic microcapsules with prolonged release**

Derwent Title: Oral anti-hyperglycemic composition having a microcapsule core where each microcapsule is coated with film for prolonged release [Derwent Record]

Country: CN China

Kind: A UNEXAMINED APPLICATION FOR A PATENT FOR INV. <sup>1</sup> (See also: CN1474687T )

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Assignee: FLAMEL TECHNOLOGIES France  
News, Profiles, Stocks and More about this company

Published / Filed: 2004-02-11 / 2001-11-19

Application Number: CN2001000819042

IPC Code: Advanced: A61K 9/50; A61K 31/00; A61K 31/155;  
Core: more...  
IPC-7: A61K 9/50; A61K 31/155; A61P 3/10;

ECLA Code: A61K9/50H4; A61K9/50H6F2B; A61K31/00+A; A61K31/155;





Priority Number: 2000-11-17 FR2000000014876

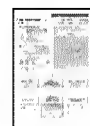
INPADOC  
Legal Status:

Gazette date	Code	Description (remarks)	List all possible codes for CN
2004-04-21	C10	Request of examination as to substance	
2004-02-11	C06 +	Publication	

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Family:

PDF	Publication	Pub. Date	Filed	Assignee	Title
	WO0239984A3	2002-07-11	2001-11-19	FLAMEL TECHNOLOGIES	MEDICINE BASED ON ANTI-HYPERGLYCAEMIC MICROCAPSULES WITH PROLONGED RELEASE
	WO0239984A2	2002-05-23	2001-11-19	FLAMEL TECHNOLOGIES	MEDICINE BASED ON ANTI-HYPERGLYCAEMIC MICROCAPSULES WITH PROLONGED RELEASE AND METHOD FOR PREPARING SAME
	US20040175424A1	2004-09-09	2003-06-06		Medicine based on anti-hyperglycaemic microcapsules with prolonged release and method for preparing same
	PT1333816E	2009-06-23	2001-11-19	FLAMEL TECH	MEDICINE BASED ON ANTI-HYPERGLYCAEMIC MICROCAPSULES WITH



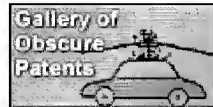
High  
Resolution

				SA	PROLONGED RELEASE AND METHOD FOR PREPARING SAME
<input checked="" type="checkbox"/>	JP2004513913T2	2004-05-13	2001-11-19		
<input checked="" type="checkbox"/>	FR2816840B1	2004-04-09	2000-11-17	FLAMEL TECHNOLOGIES	MEDICAMENT A BASE DE MICROCAPSULES D'ANTI-HYPERCLYCEMIANT A LIBERATION PROLONGEE ET SON PROCEDE DE PREPARATION
<input checked="" type="checkbox"/>	FR2816840A1	2002-05-24	2000-11-17	FLAMEL TECHNOLOGIES	MEDICAMENT A BASE DE MICROCAPSULES D'ANTI-HYPERCLYCEMIANT A LIBERATION PROLONGEE ET SON PROCEDE DE PREPARATION
<input checked="" type="checkbox"/>	ES2324205T3	2009-08-03	2001-11-19	FLAMEL TECH SA	MEDICAMENTO A BASE DE MICROCAPSULAS DE ANTI-HIPERGLUCEMIANTE DE LIBERACION PROLONGADA Y SU PROCEDIMIENTO DE PREPARACION.
<input checked="" type="checkbox"/>	EP1333816B1	2009-03-18	2001-11-19	FLAMEL TECHNOLOGIES	MEDICINE BASED ON ANTI-HYPERGLYCAEMIC MICROCAPSULES WITH PROLONGED RELEASE AND METHOD FOR PREPARING SAME
<input checked="" type="checkbox"/>	EP1333816A2	2003-08-13	2001-11-19	FLAMEL TECHNOLOGIES	MEDICINE BASED ON ANTI-HYPERGLYCAEMIC MICROCAPSULES WITH PROLONGED RELEASE AND METHOD FOR PREPARING SAME
	DE60138033C0	2009-04-30	2001-11-19	FLAMEL TECH SA	MEDIKAMENT AUF DER BASIS VON EIN ANTIHYPERGLYKÄMIKUM ENTHALTENDEN MIKROKAPSELN MIT GESTEUERTER FREISETZUNG
<input checked="" type="checkbox"/>	CN1853617A	2006-11-01	2001-11-19	FLAMEL TECH SA	Medicine based on anti-hyperglycaemic microcapsules with prolonged release
<input checked="" type="checkbox"/>	CN1474687A	2004-02-11	2001-11-19	FLAMEL TECHNOLOGIES	Mdeicine based on anti-hyperglycaemic microcapsules with prolonged release
<input checked="" type="checkbox"/>	CA2428782AA	2002-05-23	2001-11-19	FLAMEL TECHNOLOGIES	MEDICINE BASED ON ANTI-HYPERGLYCAEMIC MICROCAPSULES WITH PROLONGED RELEASE
<input checked="" type="checkbox"/>	BR0115958A	2004-01-06	2001-11-19	FLAMEL TECHNOLOGIES	Medicamento, processo de prepara o do medicamento e uso de uma pluralidade de microcapsulas
<input checked="" type="checkbox"/>	AU0220796A5	2002-05-27	2001-11-19	FLAMEL TECHNOLOGIES	MEDICINE BASED ON ANTI-HYPERGLYCAEMIC MICROCAPSULES WITH PROLONGED RELEASE AND METHOD FOR PREPARING SAME
					MEDIKAMENT AUF DER

<input checked="" type="checkbox"/>	AT0425745E	2009-04-15	2001-11-19	FLAMEL TECH SA	BASIS VON EIN ANTIHYPERGLYKAMIKUM ENTHALTENDEN MIKROKAPSELN MIT GESTEUERTER FREISETZUNG
17 family members shown above					

Other Abstract  
Info:

None



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[51] Int. Cl<sup>1</sup>

A61K 9/50

A61K 31/155 A61P 3/00



# [12] 发明专利申请公开说明书

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[11] 公开号 CN 1474687A

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[30] 优先权

[32] 2000.11.17 [33] FR [31] 00/14876

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商标事务所

代理人 李华英

权利要求书 4 页 说明书 13 页 附图 2 页

[54] 发明名称 基于抗高血糖药微胶囊的延时释放  
药物

[57] 摘要

本发明涉及一种用于延时释放抗高血糖药(二甲双胍)活性成分的口服盖仑制剂形式。该药物能够通过克服吸收旁路和活性成分大量局部化释放问题而获得持续 24 小时的有效治疗保护。因此,该药物包含几千个抗高血糖药(二甲双胍)微胶囊,每个微胶囊由包含至少一种抗高血糖药的囊心和涂覆于该囊心并使该抗高血糖药在体内延时释放的包衣膜组成。该微胶囊的粒径分布范围为 50-100 微米,转运动力学的可重复性和因此的生物利用率是非常高的。这导致患者发生高血糖或低血糖的危险较小。本发明还涉及该药物的制备和多个所述微胶囊用于制备抗高血糖药物的用途。本发明可应用于 II 型糖尿病的治疗。

100  
90  
80  
70  
60  
50  
40  
30  
20  
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1. 基于至少一种可以通过口服途径给药的抗高血糖药的药物，其特征在于：

\* 它包含多个微胶囊，每一个微胶囊由含有至少一种抗高血糖药的囊心和涂敷于该囊心并能使该抗高血糖药在体内延时释放的包衣膜组成。

排除由肠溶组合物构成的包衣膜和具有以下组成的包衣膜：

1-至少一种不溶于胃肠道液体的成膜聚合物(P1)，其存在比例为基于该包衣组合物总质量干重的 50-90%，优选 50-80%，并由至少一种不溶于水的纤维素衍生物即乙基纤维素和/或醋酸纤维素组成；

2-至少一种含氮聚合物(P2)，其存在比例为基于该包衣组合物总质量干重的 2-25%，优选 5-15%，并由至少一种聚丙烯酰胺和/或一种聚-N-乙烯酰胺和/或一种聚-N-乙烯内酰胺，即聚丙烯酰胺和/或聚乙烯吡咯烷酮组成；

3-至少一种增塑剂，其存在比例为基于该包衣组合物总质量干重的 2-20%，优选 4-15%，并由至少一种以下化合物组成：甘油酯、邻苯二甲酸酯、柠檬酸酯、癸二酸酯、十六烷醇酯、十六烷醇酯、蓖麻油、水杨酸和角质素；

4-及任选地至少一种表面活性剂和/或润滑剂，其存在比例为基于该包衣组合物总质量干重的 2-20%，优选 4-15%，并选自阴离子表面活性剂，即脂肪酸优选硬脂酸和/或油酸的碱金属或碱土金属盐；和/或选自非离子型表面活性剂，即聚氧乙烯化失水山梨糖醇酯和/或聚氧乙烯化蓖麻油衍生物；和/或选自润滑剂，如硬脂酸钙、硬脂酸镁、硬脂酸铝或硬脂酸锌，或者如硬脂基富马酸钠和/或山萘酸甘油酯；所述表面活性剂和/或润滑剂可能包含仅一种上述产品或包含上述产品的混合物；

\* 这些微胶囊的粒径为 50-1000 微米，优选 100-750 微米，更优选 200-500 微米。